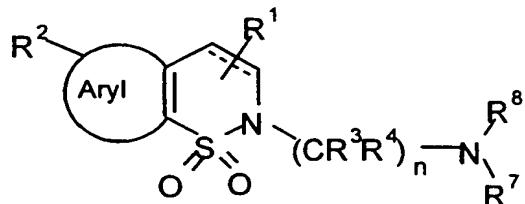


We Claim:

1. A compound of the formula:



Sub A²
Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, OH, OC₁₋₃alkyl, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R² is H, halogen, C₁₋₃alkyl, CONR⁵R⁶, S(=O)_mC₁₋₃alkyl, S(=O)₂NR⁵R⁶, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R³, R⁴ are independently H, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

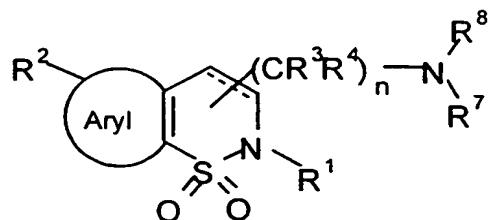
R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts and solvates.

2. A compound of the formula:



Wherein the dashed bond represents a single or double bond;

Sub A2

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, C₁₋₃alkyl, C₃₋₅alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, or S(=O)₂ NR⁵R⁶; or C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, S(=O)₂ NR⁵R⁶; or C₃₋₅alkenyl substituted optionally with OH, OC₁₋₃alkyl, or S(=O)_mC₁₋₃alkyl;

R² is H, halogen, C₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, S(=O)₂ NR⁵R⁶, or C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted

*Sub
A2*

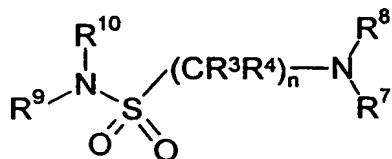
optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4;

s m is 0, 1 or 2

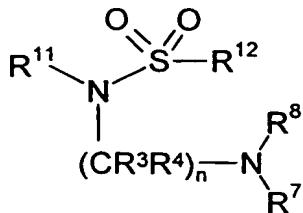
and any pharmaceutically acceptable salts and solvates.

3. A compound of the formula:



- 10 R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;
- 15 R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;
- 20 R⁹ is phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted with C₁₋₄ alkyl, halogen, OC₁₋₄alkyl;
- R¹⁰ is C₁₋₄alkyl, or R¹⁰ can be joined to R⁹ to form a fused bicyclic ring system such as indoline;
- 25 n is 2 to 4
- and any pharmaceutically acceptable salts and solvates.

4. A Compound of the formula:



5 R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

¹⁰ R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

¹⁵

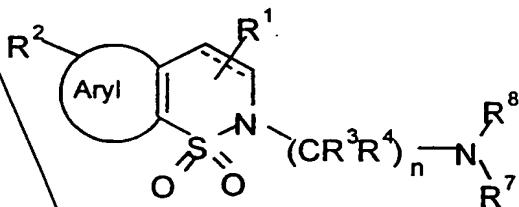
R^{11} is C_{1-3} alkyl, phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted with C_{1-4} alkyl, halogen, OC_{1-4} alkyl;

20 R^{12} is C_{1-4} alkyl or a fused bicyclic heteroaromatic ring such as thieno[3,2-*e*]-1,2-thiazine, or
1,2-benzothiazine, or R^{12} can be joined to R^{11} to form a fused bicyclic ring system
such as 2,3-dihydro-benzo[*c*]isoxazole;

n is 2 to 4

and any pharmaceutically acceptable salts and solvates.

5. A method for lowering IOP which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:



Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, OH, OC₁₋₃alkyl, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

10 R² is H, halogen, C₁₋₃alkyl, CONR⁵R⁶, S(=O)_mC₁₋₃alkyl, S(=O)₂NR⁵R⁶, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R³, R⁴ are independently H, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

15 R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

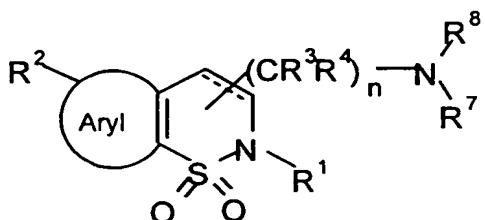
20 R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

25 n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts and solvates.

6. A method for lowering IOP which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:



Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

10 R^1 is H, C₁₋₅alkyl, C₃₋₅alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, or S(=O)₂NR⁵R⁶; or C₂₋₅alkyl substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, S(=O)₂NR⁵R⁶; or C₃₋₅alkenyl substituted optionally with OH, OC₁₋₃alkyl, or S(=O)_mC₁₋₃alkyl;

15 R^2 is H, halogen, C₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, S(=O)₂NR⁵R⁶, or C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

20 R^3 & R^4 are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

25 R^5 , R^6 are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R^5 and R^6 can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

30 R^7 , R^8 are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ^3 -piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or

Sub A³

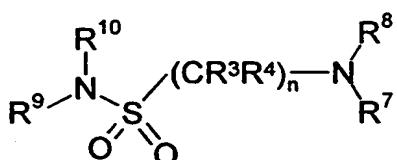
more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted
optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted
optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen
with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with
halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

5 n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts and solvates.

- 10 7. A method for lowering IOP which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:



15 R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

- 20 R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

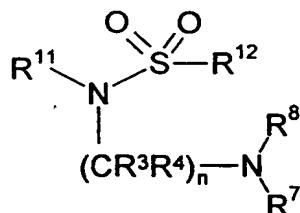
25 R⁹ is phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted with C₁₋₄alkyl, halogen, OC₁₋₄alkyl;

R¹⁰ is C₁₋₄alkyl, or R¹⁰ can be joined to R⁹ to form a fused bicyclic ring system such as indoline;

n is 2 to 4

and any pharmaceutically acceptable salts and solvates.

8. A method for lowering IOP which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:



R^3 & R^4 are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

10 R^7 , R^8 are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

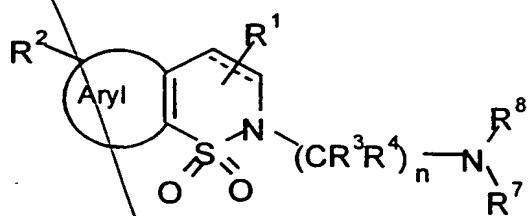
15 R^{11} is C₁₋₃alkyl, phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted with C₁₋₄alkyl, halogen, OC₁₋₄alkyl;

20 R^{12} is C₁₋₄alkyl or a fused bicyclic heteroaromatic ring such as thieno[3,2-*e*]-1,2-thiazine, or 1,2-benzothiazine, or R^{12} can be joined to R^{11} to form a fused bicyclic ring system such as 2,3-dihydro-benzo[*c*]isoxazole;

25 n is 2 to 4

and any pharmaceutically acceptable salts and solvates.

9. A method for improving blood flow to the optic nerve head and the retina which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:



Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

10 R¹ is H, OH, OC₁₋₃alkyl, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R² is H, halogen, C₁₋₃alkyl, CONR⁵R⁶, S(=O)_mC₁₋₃alkyl, S(=O)₂NR⁵R⁶, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

15 R³, R⁴ are independently H, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

20 R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted

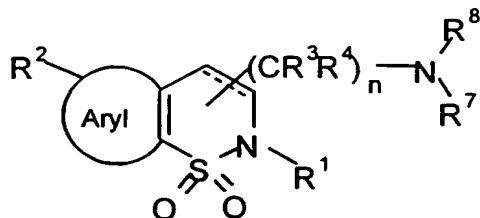
25 optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts and solvates.

10. A method for improving blood flow to the optic nerve head and the retina which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:



Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, C₁₋₅alkyl, C₃₋₅alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, or S(=O)₂NR⁵R⁶; or C₂₋₅alkyl substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, S(=O)₂NR⁵R⁶; or C₃₋₅alkenyl substituted optionally with OH, OC₁₋₃alkyl, or S(=O)_mC₁₋₃alkyl;

R² is H, halogen, C₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, S(=O)₂NR⁵R⁶, or C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine

Sub A4

5 or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

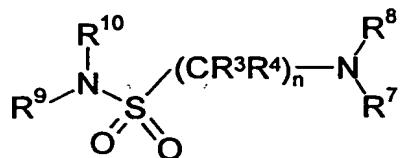
n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts and solvates.

10

11. A method for improving blood flow to the optic nerve head and the retina which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:



15

R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

20

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

25

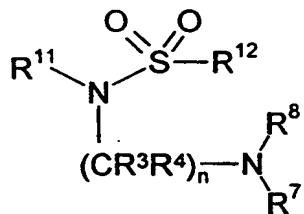
R⁹ is phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted with C₁₋₄ alkyl, halogen, OC₁₋₄alkyl;

R¹⁰ is C₁₋₄alkyl, or R¹⁰ can be joined to R⁹ to form a fused bicyclic ring system such as indoline;

5 n is 2 to 4

and any pharmaceutically acceptable salts and solvates.

12. A method for improving blood flow to the optic nerve head and the retina which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:



R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

15 R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

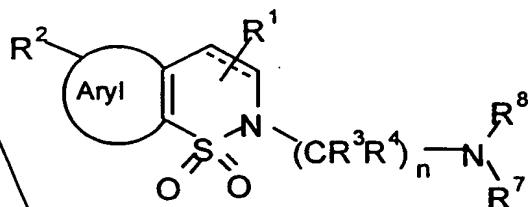
20 R¹¹ is C₁₋₃alkyl, phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted with C₁₋₄ alkyl, halogen, OC₁₋₄alkyl;

25 R¹² is C₁₋₄alkyl or a fused bicyclic heteroaromatic ring such as thieno[3,2-e]-1,2-thiazine, or 1,2-benzothiazine, or R¹² can be joined to R¹¹ to form a fused bicyclic ring system such as 2,3-dihydro-benzo[c]isoxazole;

n is 2 to 4

and any pharmaceutically acceptable salts and solvates.

- 5 13. A method for treating retinal diseases which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:



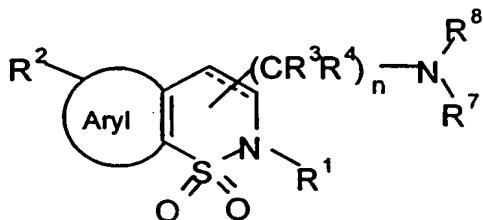
- 10 Wherein the dashed bond represents a single or double bond;
 Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;
 R¹ is H, OH, OC₁₋₃alkyl, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;
 R² is H, halogen, C₁₋₃alkyl, CONR⁵R⁶, S(=O)_mC₁₋₃alkyl, S(=O)₂NR⁵R⁶, C₁₋₃alkyl substituted
 15 optionally with OH, or OC₁₋₃alkyl;
 R³, R⁴ are independently H, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;
 R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or
 R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6
 membered ring and said carbon atoms can be either unsubstituted or substituted
 20 optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;
 R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a
 heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected
 25 from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine
 or thiomorpholine which can be unsubstituted or substituted on carbon with one or
 more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted
 optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted
 optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen
 with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with
 halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts and solvates.

14. A method for treating retinal diseases which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:



Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, C₁₋₅alkyl, C₃₋₅alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, or S(=O)₂NR⁵R⁶; or C₂₋₅alkyl substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, S(=O)₂NR⁵R⁶; or C₃₋₅alkenyl substituted optionally with OH, OC₁₋₃alkyl, or S(=O)_mC₁₋₃alkyl;

R² is H, halogen, C₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, S(=O)₂NR⁵R⁶, or C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected

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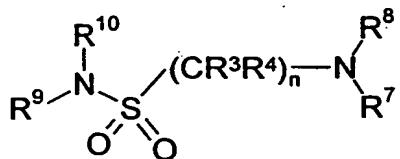
from N, O, S, such as pyrrolidine, piperidine, Δ^3 -piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4;

m is 0, 1 or 2

10 and any pharmaceutically acceptable salts and solvates.

15. A method for treating retinal diseases which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:



15

R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

20

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ^3 -piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

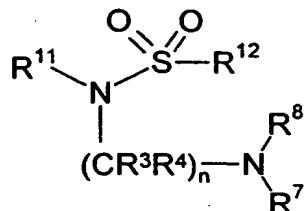
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R⁹ is phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted with C₁₋₄alkyl, halogen, OC₁₋₄alkyl;

R¹⁰ is C₁₋₄alkyl, or R¹⁰ can be joined to R⁹ to form a fused bicyclic ring system such as

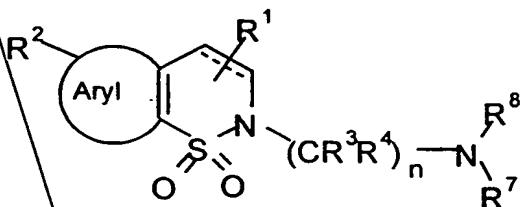
indoline;
n is 2 to 4
and any pharmaceutically acceptable salts and solvates.

- 5 16. A method for treating retinal diseases which comprises administering to a person in need thereof, a composition comprising an effective amount of a compound of the formula:



- 10 R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;
- 15 R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;
- 20 R¹¹ is C₁₋₃alkyl, phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted with C₁₋₄ alkyl, halogen, OC₁₋₄alkyl;
- 25 R¹² is C₁₋₄alkyl or a fused bicyclic heteroaromatic ring such as thieno[3,2-e]-1,2-thiazine, or 1,2-benzothiazine, or R¹² can be joined to R¹¹ to form a fused bicyclic ring system such as 2,3-dihydro-benzo[c]isoxazole;
- n is 2 to 4
and any pharmaceutically acceptable salts and solvates.

17. A composition for lowering IOP comprising a pharmaceutically effective amount of a compound of the formula:



Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

10 R¹ is H, OH, OC₁₋₃alkyl, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R² is H, halogen, C₁₋₃alkyl, CONR⁵R⁶, S(=O)_mC₁₋₃alkyl, S(=O)₂NR⁵R⁶, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R³, R⁴ are independently H, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or

15 R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected

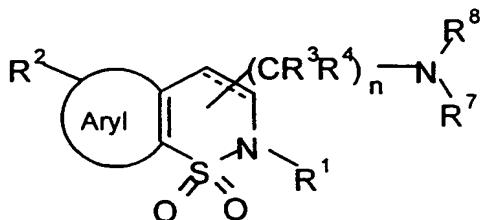
20 from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

25 n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts and solvates.

~~18.~~ A composition for lowering IOP comprising a pharmaceutically effective amount of a compound of the formula:



Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

10 R¹ is H, C₁₋₅alkyl, C₃₋₅selenenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, or S(=O)₂NR⁵R⁶; or C₂₋₅alkyl substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, S(=O)₂NR⁵R⁶; or C₃₋₅selenenyl substituted optionally with OH, OC₁₋₃alkyl, or S(=O)_mC₁₋₃alkyl;

15 R² is H, halogen, C₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, S(=O)₂NR⁵R⁶, or C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

20 R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

25 R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or

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more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted

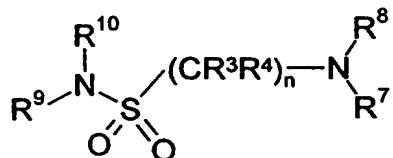
optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted
optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen
with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with
halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts and solvates.

- 10 19. A composition for lowering IOP comprising a pharmaceutically effective amount
of a compound of the formula:



R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or
OC₁₋₃alkyl;

- 15 R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a
heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected
from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine
or thiomorpholine which can be unsubstituted or substituted on carbon with one or
more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted
optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted
optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen
with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with
halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

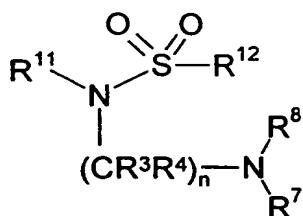
20 R⁹ is phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted
with C₁₋₄alkyl, halogen, OC₁₋₄alkyl;

25 R¹⁰ is C₁₋₄alkyl, or R¹⁰ can be joined to R⁹ to form a fused bicyclic ring system such as
indoline;

n is 2 to 4

and any pharmaceutically acceptable salts and solvates.

20. A composition for lowering IOP comprising a pharmaceutically effective amount
5 of a compound of the formula:



R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a
10 heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected
from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine
or thiomorpholine which can be unsubstituted or substituted on carbon with one or
more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted
optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted
15 optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen
with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with
halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

R¹¹ is C₁₋₃alkyl, phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or
substituted with C₁₋₄alkyl, halogen, OC₁₋₄alkyl;

20 R¹² is C₁₋₄alkyl or a fused bicyclic heteroaromatic ring such as thieno[3,2-e]-1,2-thiazine, or
1,2-benzothiazine, or R¹² can be joined to R¹¹ to form a fused bicyclic ring system
such as 2,3-dihydro-benzo[c]isoxazole;

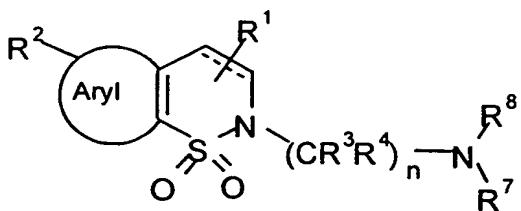
n is 2 to 4

and any pharmaceutically acceptable salts and solvates.

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21. A composition for improving blood flow to the optic nerve head and the retina
comprising a pharmaceutically effective amount of a compound of the formula:

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Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

10 R¹ is H, OH, OC₁₋₃alkyl, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R² is H, halogen, C₁₋₃alkyl, CONR⁵R⁶, S(=O)_mC₁₋₃alkyl, S(=O)₂ NR⁵R⁶, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

15 R³, R⁴ are independently H, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

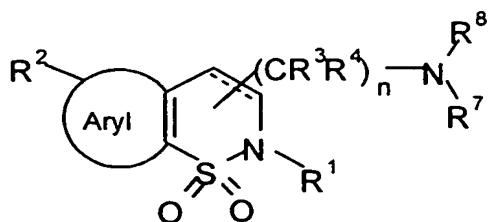
20 R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

25 n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts and solvates.

22. A composition for improving blood flow to the optic nerve head and the retina comprising a pharmaceutically effective amount of a compound of the formula:



Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, C₁₋₅alkyl, C₃₋₅alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, or S(=O)₂NR⁵R⁶; or C₂₋₅alkyl substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, S(=O)₂NR⁵R⁶; or C₃₋₅alkenyl substituted optionally with OH, OC₁₋₃alkyl, or S(=O)_mC₁₋₃alkyl;

R² is H, halogen, C₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, S(=O)₂NR⁵R⁶, or C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen

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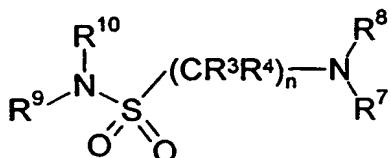
with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts and solvates.

23. A composition for improving blood flow to the optic nerve head and the retina comprising a pharmaceutically effective amount of a compound of the formula:



10 R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

15 R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

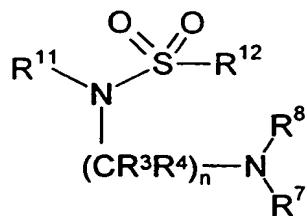
20 R⁹ is phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted with C₁₋₄alkyl, halogen, OC₁₋₄alkyl;

25 R¹⁰ is C₁₋₄alkyl, or R¹⁰ can be joined to R⁹ to form a fused bicyclic ring system such as indoline;

n is 2 to 4

and any pharmaceutically acceptable salts and solvates.

24. A composition for improving blood flow to the optic nerve head and the retina comprising a pharmaceutically effective amount of a Compound of the formula:



R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

R¹¹ is C₁₋₃alkyl, phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted with C₁₋₄alkyl, halogen, OC₁₋₄alkyl;

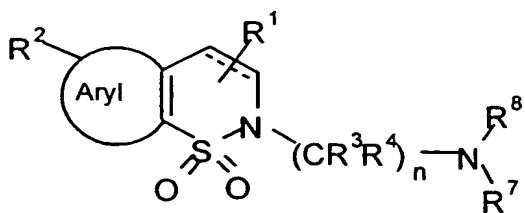
R¹² is C₁₋₄alkyl or a fused bicyclic heteroaromatic ring such as thieno[3,2-e]-1,2-thiazine, or 1,2-benzothiazine, or R¹² can be joined to R¹¹ to form a fused bicyclic ring system such as 2,3-dihydro-benzo[c]isoxazole;

n is 2 to 4

and any pharmaceutically acceptable salts and solvates.

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25*

25. A composition for treating retinal diseases comprising a pharmaceutically effective amount of a compound of the formula:



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Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, OH, OC₁₋₃alkyl, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R² is H, halogen, C₁₋₃alkyl, CONR⁵R⁶, S(=O)_mC₁₋₃alkyl, S(=O)₂NR⁵R⁶, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R³, R⁴ are independently H, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or

R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

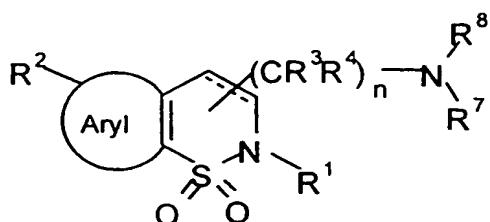
n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts and solvates.

20

25 26. A composition for treating retinal diseases comprising a pharmaceutically effective amount of a compound of the formula:



Sub
A⁸

Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

- 5 R¹ is H, C₁₋₅alkyl, C₃₋₅alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, or S(=O)₂NR⁵R⁶; or C₂₋₅alkyl substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, S(=O)₂NR⁵R⁶; or C₃₋₅alkenyl substituted optionally with OH, OC₁₋₃alkyl, or S(=O)_mC₁₋₃alkyl;
- 10 R² is H, halogen, C₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, S(=O)₂NR⁵R⁶, or C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;
- 15 R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;
- 20 R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;
- 25 R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen

Sub
A8

5 and any pharmaceutically acceptable salts and solvates.

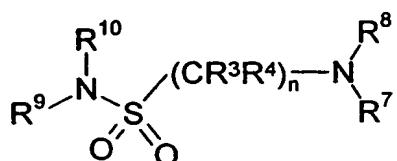
with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts and solvates.

27. A composition for treating retinal diseases comprising a pharmaceutically effective amount of a compound of the formula:



10 R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

15 R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

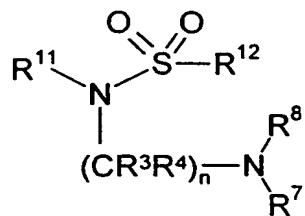
20 R⁹ is phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted with C₁₋₄alkyl, halogen, OC₁₋₄alkyl;

25 R¹⁰ is C₁₋₄alkyl, or R¹⁰ can be joined to R⁹ to form a fused bicyclic ring system such as indoline;

n is 2 to 4

and any pharmaceutically acceptable salts and solvates.

28. A composition for treating retinal diseases comprising a pharmaceutically effective amount of a compound of the formula:



R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

R¹¹ is C₁₋₃alkyl, phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted with C₁₋₄alkyl, halogen, OC₁₋₄alkyl;

R¹² is C₁₋₄alkyl or a fused bicyclic heteroaromatic ring such as thieno[3,2-*e*]-1,2-thiazine, or 1,2-benzothiazine, or R¹² can be joined to R¹¹ to form a fused bicyclic ring system such as 2,3-dihydro-benzo[*c*]isoxazole;

n is 2 to 4

and any pharmaceutically acceptable salts and solvates.

29. A method for improving blood flow to the optic nerve head or the retina which comprises administering to a person in need thereof, a composition comprising a pharmaceutically effective amount of a compound with 5HT₇ receptor affinity.

30. A composition for improving blood flow to the optic nerve head or the retina comprising a pharmaceutically effective amount of a compound with 5HT₇ receptor affinity.

5 31. A method for providing neuroprotection to the optic nerve head or the retina which comprises administering to a person in need thereof, a composition comprising a pharmaceutically effective amount of a compound with 5HT₇ receptor affinity.

32. A composition for providing neuroprotection to the optic nerve head or the retina comprising a pharmaceutically effective amount of a compound with 5HT₇ receptor affinity.

10

33. A method for treating retinal diseases which comprises administering to a person in need thereof, a composition comprising a pharmaceutically effective amount of a compound with 5HT₇ receptor affinity.

15

34. The method of ~~Claim 1~~ wherein the retinal disease is selected from the group consisting of glaucoma, age related macular degeneration, optic neuritis, ischemic disorders, and retinal edema.

20

35. A composition for treating retinal diseases comprising a pharmaceutically effective amount of a compound with 5HT₇ receptor affinity.

25

36. The composition of ~~Claim 35~~ wherein the retinal diseases are selected from the group consisting of glaucoma, age related macular degeneration, optic neuritis, ischemic disorders, diabetic retinopathy, and retinal edema.

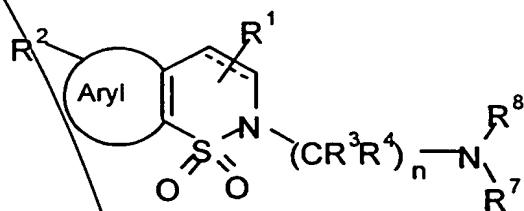
30

37. A method for lowering IOP which comprises administering to a person in need thereof, a composition comprising a pharmaceutically effective amount of a compound with 5HT₇ receptor affinity.

38. A composition for lowering IOP comprising a pharmaceutically effective amount of a compound with 5HT₇ receptor affinity.

39. A method for treating persons suffering from a sleeping disorder, depression, schizophrenia, anxiety, circadian rhythm disorders, and centrally and peripherally mediated hypertension, which comprises, administering a composition comprising a pharmaceutically effective amount of a compound of the formula:

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*Sub
A9*

Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, OH, OC₁₋₃alkyl, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R² is H, halogen, C₁₋₃alkyl, CONR⁵R⁶, S(=O)_mC₁₋₃alkyl, S(=O)₂NR⁵R⁶, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R³, R⁴ are independently H, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

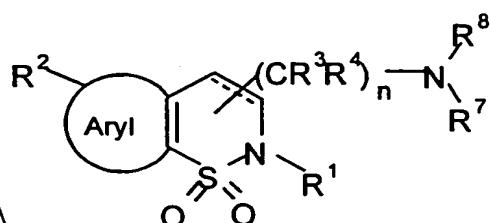
R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts and solvates.

40. A method for treating persons suffering from a sleeping disorder, depression, schizophrenia, anxiety, obsessive compulsive disorder, circadian rhythm disorders, and centrally and peripherally mediated hypertension which comprises, administering a composition comprising a pharmaceutically effective amount of a compound of the formula:



Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, C₁₋₅alkyl, C₃₋₅alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, or S(=O)₂NR⁵R⁶; or C₂₋₅alkyl substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, S(=O)₂NR⁵R⁶; or C₃₋₅alkenyl substituted optionally with OH, OC₁₋₃alkyl, or S(=O)_mC₁₋₃alkyl;

R² is H, halogen, C₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, S(=O)₂NR⁵R⁶, or C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6 membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

*Sub
A9
s*

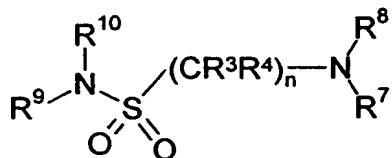
R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

10 n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts and solvates.

41. A method for treating persons suffering from a sleeping disorder, depression, schizophrenia, anxiety, obsessive compulsive disorders, circadian rhythm disorders, and centrally and peripherally mediated hypertension which comprises, administering a composition comprising a pharmaceutically effective amount of a compound of the formula:



R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

20 R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen

with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

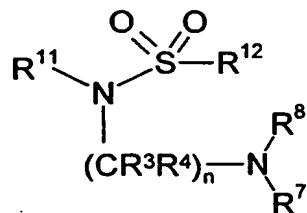
R⁹ is phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted with C₁₋₄ alkyl, halogen, OC₁₋₄alkyl;

5 R¹⁰ is C₁₋₄alkyl, or R¹⁰ can be joined to R⁹ to form a fused bicyclic ring system such as indoline;

n is 2 to 4

and any pharmaceutically acceptable salts and solvates.

10 42. A method for treating persons suffering from a sleeping disorder, depression, schizophrenia, anxiety, obsessive compulsive disorder, circadian rhythm disorders, and centrally and peripherally mediated hypertension which comprises, administering a composition comprising a pharmaceutically effective amount of a compound of the formula:



15 R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

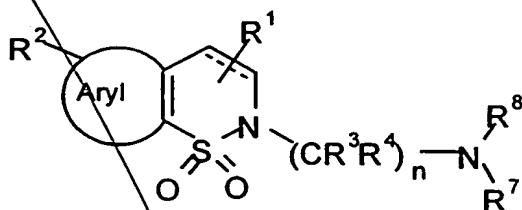
R¹¹ is C₁₋₃alkyl, phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted with C₁₋₄ alkyl, halogen, OC₁₋₄alkyl;

R¹² is C₁₋₄alkyl or a fused bicyclic heteroaromatic ring such as thieno[3,2-e]-1,2-thiazine, or 1,2-benzothiazine, or R¹² can be joined to R¹¹ to form a fused bicyclic ring system such as 2,3-dihydro-benzo[c]isoxazole;

n is 2 to 4

and any pharmaceutically acceptable salts and solvates.

43. A composition comprising a pharmaceutically effective amount of a compound of the formula:



Sub A10
Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

R¹ is H, OH, OC₁₋₃alkyl, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R² is H, halogen, C₁₋₃alkyl, CONR⁵R⁶, S(=O)_mC₁₋₃alkyl, S(=O)₂NR⁵R⁶, C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

R³, R⁴ are independently H, C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or

R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6

membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected

from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or

more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted

optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted

optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

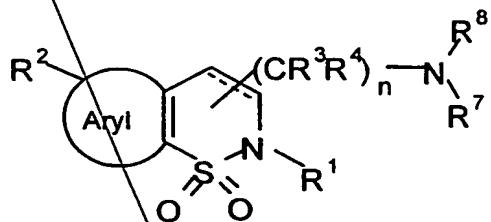
n is 2 to 4;

5 m is 0, 1 or 2

and any pharmaceutically acceptable salts and solvates in a pharmaceutically acceptable carrier.

*Sub
A¹⁰*

10 44. A composition comprising a pharmaceutically effective amount of a compound of the formula:



Wherein the dashed bond represents a single or double bond;

Aryl signifies a fused phenyl or monocyclic heteroaromatic ring;

15 R¹ is H, C₁₋₅alkyl, C₃₋₅alkenyl, an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, or S(=O)₂NR⁵R⁶; or C₂₋₅alkyl substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl or an aromatic ring such as phenyl, thienyl, pyridyl, and imidazoyl which is either unsubstituted or substituted optionally with OH, OC₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, halogen, CF₃, S(=O)₂NR⁵R⁶; or C₃₋₅alkenyl substituted optionally with OH, OC₁₋₃alkyl, or S(=O)_mC₁₋₃alkyl;

20 R² is H, halogen, C₁₋₃alkyl, S(=O)_mC₁₋₃alkyl, S(=O)₂NR⁵R⁶, or C₁₋₃alkyl substituted optionally with OH, or OC₁₋₃alkyl;

25 R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁵, R⁶ are independently H, C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, or R⁵ and R⁶ can be joined together with saturated carbon atoms to form a 5 or 6

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A10*

membered ring and said carbon atoms can be either unsubstituted or substituted optionally with C₁₋₃alkyl, C₂₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

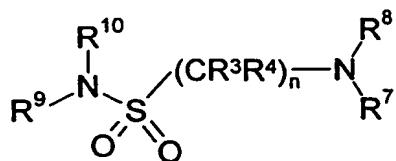
R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

n is 2 to 4;

m is 0, 1 or 2

and any pharmaceutically acceptable salts and solvates in a pharmaceutically acceptable carrier.

45. A composition comprising a pharmaceutically effective amount of a compound of the formula:



R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen

with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

R⁹ is phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted with C₁₋₄ alkyl, halogen, OC₁₋₄alkyl;

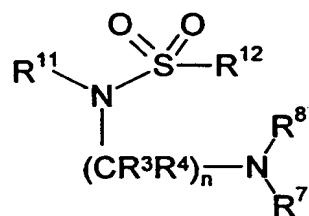
5 R¹⁰ is C₁₋₄alkyl, or R¹⁰ can be joined to R⁹ to form a fused bicyclic ring system such as indoline;

n is 2 to 4

and any pharmaceutically acceptable salts and solvates in a pharmaceutically acceptable carrier.

10

46. A composition comprising a pharmaceutically effective amount of a compound of the formula:



15 R³ & R⁴ are independently H, C₁₋₃alkyl, or C₁₋₃alkyl substituted optionally with OH or OC₁₋₃alkyl;

R⁷, R⁸ are together with the nitrogen atom to which they are attached incorporated into a heterocyclic ring of 5 to 8 atoms which may include a second heteroatom selected from N, O, S, such as pyrrolidine, piperidine, Δ³-piperidein, piperazine, morpholine or thiomorpholine which can be unsubstituted or substituted on carbon with one or more substituents optionally selected from C₁₋₃alkyl, C₁₋₃alkyl substituted optionally with OH, OC₁₋₃alkyl, phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl, or substituted on nitrogen with C₁₋₄alkoxy or phenyl which can be unsubstituted or substituted optionally with halogen, CF₃, OC₁₋₃alkyl, or C₁₋₃alkyl;

20

R¹¹ is C₁₋₃alkyl, phenyl or a monocyclic heteroaromatic ring which can be unsubstituted or substituted with C₁₋₄ alkyl, halogen, OC₁₋₄alkyl;

25

R¹² is C₁₋₄alkyl or a fused bicyclic heteroaromatic ring such as thieno[3,2-e]-1,2-thiazine, or 1,2-benzothiazine, or R¹² can be joined to R¹¹ to form a fused bicyclic ring system such as 2,3-dihydro-benzo[c]isoxazole;

n is 2 to 4

5 and any pharmaceutically acceptable salts and solvates in a pharmaceutically acceptable carrier.

47. The Compound of Claim 1 selected from the group consisting of:

6-Chloro-2-[4-[4-(2H-benzimidazo-2-oxo-1-yl)piperidin-1-yl]butyl]-2H-thieno[3,2-e]-1,2-thiazine 1,1-dioxide;
6-Chloro-2-[4-(4-phenylpiperazin-1-yl)butyl]-2H-thieno[3,2-e]-1,2-thiazine 1,1-dioxide;
6-Chloro-2-[4-[4-(2-fluorophenyl)piperazin-1-yl]butyl]-2H-thieno[3,2-e]-1,2-thiazine 1,1-dioxide;
6-Chloro-2-[3-[4-(3-trifluoromethylphenyl)piperazin-1-yl]propyl]-2H-thieno[3,2-e]-1,2-thiazine 1,1-dioxide;
6-Chloro-2-[3-[4-(2H-benzimidazol-2-oxo)piperidin-1-yl]propyl]-2H-thieno[3,2-e]-1,2-thiazine 1,1-dioxide.

48. The Compound of Claim 3 selected from the group consisting of:

20 3-[4-(3-Chlorophenyl)piperazin-1-yl]propylsulfonyl-2,3-dihydro-1H-indole;
3-(1,2,3,4-Tetrahydroisoquinolin-2-yl)propylsulfonyl-2,3-dihydro-1H-indole;
3-[4-(3-Trifluoromethylphenyl)piperazin-1-yl]propylsulfonyl-2,3-dihydro-1H-indole;
3-[4-(2-Methoxyphenyl)piperazin-1-yl]propylsulfonyl-2,3-dihydro-1H-indole;
3-(1,2,3,4-Tetrahydroisoquinolin-2-yl)-N-methyl-N-phenyl-propylsulfonamide;

25 49. The Compound of Claim 4 selected from the group consisting of:

N-[3-[4-(3-Chlorophenyl)piperazin-1-yl]propyl]-N-(4-methoxyphenyl)-propanesulfonamide;
N-[3-(1,2,3,4-Tetrahydroisoquinolin-2-yl)propyl]-N-(4-methoxyphenyl)-propanesulfonamide;
N-[3-[4-(3-Chlorophenyl)piperazin-1-yl]propyl]-N-(4-methoxyphenyl)-propanesulfonamide;
30 N-[3-[4-(2-Methoxyphenyl)piperazin-1-yl]propyl]-N-(4-methoxyphenyl)-propanesulfonamide;
N-[3-[4-(2-Chlorophenyl)piperazin-1-yl]propyl]-N-(4-methoxyphenyl)-propanesulfonamide.